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(71) Applicant (for all designated States except US): JAWA-HARLAL NEHRU CENTRE FOR ADVANCED SCI-ENTIFIC RESEARCH [IN/IN]; Jakkur campus, Jakkur P.O., Bangalore 560 072 (IN).

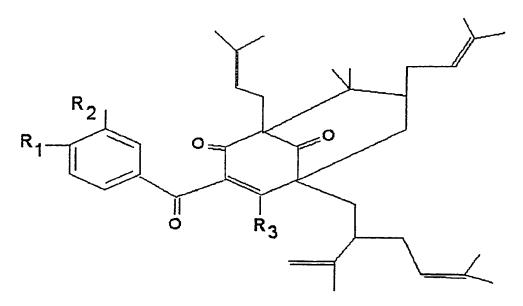
(72) Inventors; and

(75) Inventors/Applicants (for US only): TAPAS KUMAR, Kundu [IN/IN]; JJ-D1 type quarters, Jawaharlal Nehru Centre for Advanced, Scientific Research, Jakkur, Bangalore 560 072 (IN). BALASUBRAMANYAM, Karanam [IN/IN]; 145, Padmalaya, 2nd H main, 11th Block, II stage, Nagarbhavi, Bangalore 560 064 (IN). MANTELINGU, Kempegowda [IN/IN]; MAKANAHALLI, Bannur P.O. T.N. Pur Taluk, Mysore District 570001 (IN). MOHAM-MAD, Altaf [IN/IN]; Punchpora, Biljebehara, Anantnag, Anantnag, Jammu and kashmir 192124 (IN). SWAMI-NATHAN, Venkatesh [IN/IN]; 8, Lake Range, Flat No. 1A, Sanskar Apartments, Kolkata 700 029 (IN). RAD-HIKA, A Varier [IN/IN]; 12/32.-A, Karthika Nivas, E.B. Colony, TVS Nagar, Edayar Palayam P.O., Coimbatore 641025 (IN).

- (74) Agents: JAGANNATHA, Honnenahalli Manjunatha et al.; Flat no.1., Chitrakut annexe, 55/1A, 4th main, 18th Cross, Malleswaram, Bangalore 560 055 (IN).
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(54) Title: POLYISOPRENYL BENZOPHENONES AS INHIBITORS OF HISTONE ACETYL TRANSFERASES AND USES THEREOF



(57) Abstract: In this patent we describe the purification of prenylated benzophenones from the fruit rinds of Garcinia species and its evaluation as an inhibitor for Histone acetyltransferases p300 and PCAF. We have found that prenylated benzophenones are potent HAT inhibitors of p300 (IC $_{50}$ -1 $\mu$ M) and pCAF (IC $_{50}$ -15 $\mu$ M). The inhibitors significantly repress the p300 HAT dependent transcriptional activation from in vitro assembled chromatin template but had no effect on transcription from DNA. These results suggest that the compounds could be specific to HATs. Thus these compounds should be useful as biological switching molecule for evaluating the role of p300 and PCAF in cellular functions and may be useful as new chemical entities for the development of anticancer drugs.





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